

TABLE 1
Selectivity of 101 and 103 for Edg-2

	101	103
Edg 1 IC₅₀ μM	> 20	> 20
Edg 2 IC₅₀ μM	1.63	0.51
Edg 3 IC₅₀ μM	> 20	> 20
Edg 4 IC₅₀ μM	> 20	> 20
Edg 5 IC₅₀ μM	> 20	> 20
Edg 7 IC₅₀ μM	> 20	> 20
Edg 8 IC₅₀ μM	> 20	> 20
Fold Selective	> 12.3	> 39.3

TABLE 2

Pharmacology Profiling of 101

Adrenergic
Alpha 1, non-selective
Alpha 2, non-selective
Beta, non-selective
Calcium Channels
Type L, DHP
Dopamine
D2L
Endothelin
ETA
H1 central
Muscarinic, non-selective, central
Serotonin
5HT1 non-selective
Angiotensin AT2

TABLE 2
Pharmacology Profiling of 101

Adrenergic
Alpha 1, non-selective
Alpha 2, non-selective
Beta, non-selective
Bradykinin
B1
B2
Calcium Channels
Type L, DHP
Type L, BTP
Type L, PAA
Type N
Dopamine
D2L
CB2
Chemokine
CCR1
CCR2B
CCR4
CCR5
IL-8, non selective
Dopamine
D1
D2L
D2S
D3
D4.2
D4.4
D4.7
D5
Endothelin
ETA
ETB
EGF
Histamine
H1 central
H1 peripheral
H2
H3
Imidazoline
I2, central
I2, peripheral

Muscarinic
M1
M2
M3
M4
M5
Muscarinic, non-selective, central
M, Oxotremorine-M
NPY
NPY 1
NPY2
Nicotinic ACh, Central
Opiate, non-selective
PAF
PDGF
Prostanoid
EP1
EP4
Purinergic
P2X
P2Y
Serotonin
5HT1 non-selective
5HT2
5HT2A
5HT2B
5HT2C
5HT3
5HT4
5HT5A
5HT6
5HT7
Sigma, non-selective
Sodium Channel
Site 1
Site 2
Tachykinin
NK1
NK2
NK3
TGF
TNF
VEGF
VIP1
Angi tensin AT2